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				and Japanese-language basic patents from 2004-present
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NEWS	4	NOV	26	CHEMSAFE now available on STN Easy
NEWS	5	NOV	26	Two new SET commands increase convenience of STN
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NEWS	11	FEB	02	Simultaneous left and right truncation (SLART) added
				for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS		FEB		GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS		FEB		Patent sequence location (PSL) data added to USGENE
NEWS		FEB		COMPENDEX reloaded and enhanced
NEWS		FEB		WTEXTILES reloaded and enhanced
NEWS	ТΘ	FEB	19	New patent-examiner citations in 300,000 CA/CAplus
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NEWS	19	FEB	23	MEDLINE now offers more precise author group fields
NEWS		1 111	20	and 2009 MeSH terms
NEWS	20	FEB	23	TOXCENTER updates mirror those of MEDLINE - more
				precise author group fields and 2009 MeSH terms
NEWS	21	FEB	23	Three million new patent records blast AEROSPACE into
				STN patent clusters
NEWS	22	FEB	25	USGENE enhanced with patent family and legal status
				display data from INPADOCDB
NEWS	23	MAR	06	INPADOCDB and INPAFAMDB enhanced with new display
				formats
NEWS	24	MAR	11	EPFULL backfile enhanced with additional full-text
				applications and grants
NEWS	25	MAR	11	ESBIOBASE reloaded and enhanced

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7 8 9 10 11 12 13 14 15 16 18 19 20 21 27 28 29 30 32 34 35
36
ring nodes :
1 2 3 4 5 6 37 38 39 40
chain bonds :
1-7 \quad 4-20 \quad 7-8 \quad 7-9 \quad 7-10 \quad 10-11 \quad 10-19 \quad 11-12 \quad 12-13 \quad 12-27 \quad 13-14 \quad 13-29 \quad 14-15
14-32 15-16 15-34 18-20 18-21 18-35 27-28 29-30 35-36 35-38
ring bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 37-38 \quad 37-41 \quad 38-39 \quad 39-40 \quad 40-41
exact/norm bonds :
1-7 \quad 7-8 \quad 7-9 \quad 7-10 \quad 10-11 \quad 10-19 \quad 12-27 \quad 13-14 \quad 13-29 \quad 14-15 \quad 14-32 \quad 15-16 \quad 15-34
18-20 18-21 18-35 27-28 29-30 35-36 37-38 37-41
exact bonds :
4-20 11-12 12-13 35-38 38-39 39-40 40-41
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 37 :
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G1:H,Ak

G2:O,Cb,Cy,Hy,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 21:CLASS 29:CLASS 30:Atom 32:CLASS 34:CLASS 35:CLASS 36:CLASS 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom

## L1 STRUCTURE UPLOADED

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FILE 'CAPLUS' ENTERED AT 09:58:06 ON 18 MAR 2009
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FULL SCREEN SEARCH COMPLETED - 80 TO ITERATE

100.0% PROCESSED 80 ITERATIONS 7 ANSWERS

SEARCH TIME: 00.00.01

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L3 2 L2

=> d ibib abs hitstr 1-YOU HAVE REQUESTED DATA FROM 2 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2004:996120 CAPLUS Full-text DOCUMENT NUMBER: 141:411225

TITLE: Preparation of peptidyl HIV prodrugs which are

cleavable by CD26

De Kock, Herman Augustinus; Wigerinck, Piet Tom Bert INVENTOR(S):

Paul; Balzarini, Jan

Tibotec Pharmaceuticals Ltd., Ire. PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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					A2 20041118														
WO	2004099135				A3 20			20050217											
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RCE(S):

GΙ

AΒ The invention provides new prodrugs which are conjugates of a therapeutic compound and a peptide which are cleavable by dipeptidyl-peptidases, preferably by CD26, also known as DPPIV (dipeptidyl aminodipeptidase IV). Prodrugs I [n is 1-5; Y is proline, alanine, hydroxyproline, dihydroxyproline, thiazolidinecarboxylic acid (thioproline), dehydroproline, pipecolic acid (Lhomoproline), azetidinecarboxylic acid, aziridinecarboxylic acid, glycine, serine, valine, leucine, isoleucine or threonine; X is a D- or L-amino acid; X and Y in each repeat of [Y-X] are chosen independently from one another and independently from other repeats; Z is a direct bond or a bivalent straight or branched saturated hydrocarbon group having from 1 to 4 carbon atoms; R1 is aryl, heteroaryl, aryloxy, heteroaryloxy, aryloxyalkyl, heterocycloalkoxy, heterocycloalkylalkoxy, heteroaryloxyalkyl, heteroarylalkoxy; R2 is arylalkyl; R3 is alkyl, alkenyl or cycloalkylalkyl; R4 is H or alkyl] and their stereoisomeric forms and salts are claimed. Thus, peptide conjugate II (Val-Pro-PI 1) was prepared via peptide coupling reaction and studied biol., e.g., its conversion to the parent drug PI 1 in human or bovine serum. 791071-78-8P 791071-82-4P 791071-83-5P ΙT

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptidyl prodrugs which are cleavable by CD26) 791071-78-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-[[[[(2S)-1-[(2S)-2-amino-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]methyl]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

RN 791071-82-4 CAPLUS

CN L-Prolinamide, L- $\alpha$ -aspartyl-N-[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-y1]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 791071-83-5 CAPLUS

CN L-Prolinamide, L- $\alpha$ -aspartyl-L-prolyl-L-lysyl-N-[[4-[[[(2R,3S)-3-[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

$$S$$
 $N$ 
 $S$ 
 $N$ 
 $S$ 
 $CO_2H$ 

Absolute stereochemistry.

PAGE 1-B

RN 791071-79-9 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

→ OBu-t

RN 791071-80-2 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)][[4-[[[(2S)-2-pyrrolidinylcarbonyl]amino]methyl]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 791071-81-3 CAPLUS

CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L- $\alpha$ -aspartyl-N-[[4-[[(2R,3S)-3-[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2004:996009 CAPLUS  $\underline{\text{Full-text}}$ 

DOCUMENT NUMBER: 141:411224

TITLE: Preparation of peptidyl prodrugs which are cleavable

by CD26

INVENTOR(S):
Balzarini, Jan

PATENT ASSIGNEE(S): K.U. Leuven Research & Development, Belg.

SOURCE: PCT Int. Appl., 97 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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                                             GB 2003-10593
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                                             WO 2004-BE69
                                                                    20040510
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OTHER SOURCE(S): MARPAT 141:411224

The invention provides new prodrug technol. and prodrugs in order to increase solubility, modulate plasma protein binding or enhance the bioavailability of a drug. The prodrugs are conjugates of a therapeutic compound and a peptide (e.g., a tetra- or hexapeptide) which are cleavable by dipeptidyl-peptidases, preferably by CD26, also known as DPPIV (dipeptidyl aminodipeptidase IV). Claimed prodrugs comprise a therapeutic compound linked via an amide bond to an oligopeptide H-(X-Y)n, where X is an amino acid, n is 1-5, and Y is an amino acid selected from the group consisting of proline, alanine, hydroxyproline, dihydroxyproline, thiazolidinecarboxylic acid (thioproline), dehydroproline, pipecolic acid (L-homoproline), azetidinecarboxylic acid, aziridinecarboxylic acid, glycine, serine, valine, leucine, isoleucine and threonine. Thus, Val-Pro-NAP-TSAO, the dipeptide conjugate of the antiviral prodrug NAP-TSAO, was prepared and studied biol., e.g., its conversion to the parent drug in human or bovine serum.

IT 791071-82-4 791071-83-5

RL: PRPH (Prophetic)

(Preparation of peptidyl prodrugs which are cleavable by CD26)

RN 791071-82-4 CAPLUS

CN L-Prolinamide, L- $\alpha$ -aspartyl-N-[[4-[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 791071-83-5 CAPLUS

CN L-Prolinamide, L- $\alpha$ -aspartyl-L-prolyl-L-lysyl-N-[[4-[[[(2R,3S)-3-[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

IT 791071-78-8P

RL: BSU (Biological study, unclassified); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptidyl prodrugs which are cleavable by CD26)

RN 791071-78-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-[[[[(2S)-1-[(2S)-2-amino-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]methyl]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-,
(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B



Absolute stereochemistry.

PAGE 1-B

RN 791071-79-9 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

→ OBu-t

RN 791071-80-2 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)][[4-[[[(2S)-2-pyrrolidinylcarbonyl]amino]methyl]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 791071-81-3 CAPLUS

CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L- $\alpha$ -aspartyl-N-[[4-[[(2R,3S)-3-[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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